

index

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page for STN Seminar Schedule - N. America  
NEWS 2 MAY 01 New CAS web site launched  
NEWS 3 MAY 08 CA/CAPplus Indian patent publication number format defined  
NEWS 4 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields  
NEWS 5 MAY 21 BIOSIS reloaded and enhanced with archival data  
NEWS 6 MAY 21 TOXCENTER enhanced with BIOSIS reload  
NEWS 7 MAY 21 CA/CAPplus enhanced with additional kind codes for German patents  
NEWS 8 MAY 22 CA/CAPplus enhanced with IPC reclassification in Japanese patents  
NEWS 9 JUN 27 CA/CAPplus enhanced with pre-1967 CAS Registry Numbers  
NEWS 10 JUN 29 STN Viewer now available  
NEWS 11 JUN 29 STN Express, Version 8.2, now available  
NEWS 12 JUL 02 LEMBASE coverage updated  
NEWS 13 JUL 02 LMEDLINE coverage updated  
NEWS 14 JUL 02 SCISEARCH enhanced with complete author names  
NEWS 15 JUL 02 CHEMCATS accession numbers revised  
NEWS 16 JUL 02 CA/CAPplus enhanced with utility model patents from China  
NEWS 17 JUL 16 CAPplus enhanced with French and German abstracts  
NEWS 18 JUL 18 CA/CAPplus patent coverage enhanced  
NEWS 19 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification  
NEWS 20 JUL 30 USGENE now available on STN  
NEWS 21 AUG 06 CAS REGISTRY enhanced with new experimental property tags  
NEWS 22 AUG 06 BEILSTEIN updated with new compounds  
NEWS 23 AUG 06 FSTA enhanced with new thesaurus edition  
NEWS 24 AUG 13 CA/CAPplus enhanced with additional kind codes for granted patents  
NEWS 25 AUG 20 CA/CAPplus enhanced with CAS indexing in pre-1907 records

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:17:41 ON 25 AUG 2007

=>

Uploading

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Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 17:17:52 ON 25 AUG 2007

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 24 AUG 2007 HIGHEST RN 945591-52-6

DICTIONARY FILE UPDATES: 24 AUG 2007 HIGHEST RN 945591-52-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

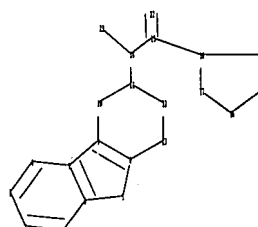
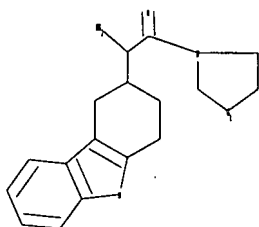
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10558931x.str



```

chain nodes :
14 15 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 16 17 18 19 20
chain bonds :
11-14 14-15 14-23 15-18 15-22
ring bonds :
1-2 1-6 2-3 3-4 4-7 5-8 5-6 6-7 7-9 8-13 8-9 9-10 10-11 11-12 12-13
16-17 16-20 17-18 18-19 19-20
exact/norm bonds :
5-8 5-6 7-9 8-13 8-9 9-10 10-11 11-12 11-14 12-13 14-15 14-23 15-18
15-22 16-17 16-20 17-18 18-19 19-20
normalized bonds :
1-2 1-6 2-3 3-4 4-7 6-7
isolated ring systems :
containing 1 : 16 :

```

G1:S,CH2,CH,CF2,SO2

Match level :

```

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 22:CLASS 23:CLASS

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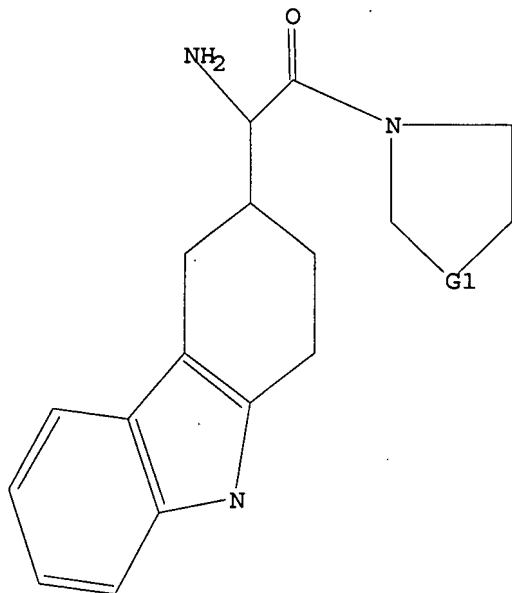
L1 STRUCTURE UPLOADED

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=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 S,CH2,CH,CF2,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 17:18:08 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 17:18:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 52 TO ITERATE

100.0% PROCESSED 52 ITERATIONS

SEARCH TIME: 00.00.01

30 ANSWERS

L3 30 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY

SESSION

172.10

172.31

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FILE 'HCAPLUS' ENTERED AT 17:18:21 ON 25 AUG 2007  
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FILE COVERS 1907 - 25 Aug 2007 VOL 147 ISS 10  
FILE LAST UPDATED: 24 Aug 2007 (20070824/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4

2 L3

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1124630 HCAPLUS

DOCUMENT NUMBER: 142:56173

TITLE: Preparation of fused indoles as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes

INVENTOR(S): Edmondson, Scott D.; Mastracchio, Anthony; Parmee, Emma R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

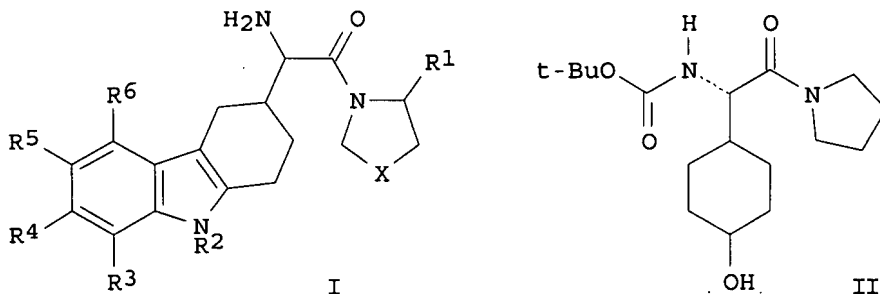
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110436	A1	20041223	WO 2004-US17111	20040602
W:	AE, AG, AL, AM, AN, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004247068	A1	20041223	AU 2004-247068	20040602
CA 2526770	A1	20041223	CA 2004-2526770	20040602

EP 1635818 A1 20060322 EP 2004-753851 20040602  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK  
 CN 1798556 A 20060705 CN 2004-80015480 20040602  
 JP 2006527194 T 20061130 JP 2006-515036 20040602  
 US 2006281796 A1 20061214 US 2005-558931 20051130  
 PRIORITY APPLN. INFO.: US 2003-476883P P 20030606  
 WO 2004-US17111 W 20040602  
 OTHER SOURCE(S): MARPAT 142:56173  
 GI



AB The authors claim the preparation of fused indoles I [R<sub>1</sub> = H, cyano; R<sub>2</sub> = H, C<sub>1</sub>-C<sub>6</sub> alkyl, (CH<sub>2</sub>)<sub>n</sub>-aryl; R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub> = independently H, halo, cyano, OH, (CH<sub>2</sub>)<sub>n</sub>CO<sub>2</sub>H, (CH<sub>2</sub>)<sub>n</sub>NR<sub>7</sub>R<sub>8</sub>, etc.; R<sub>7</sub>, R<sub>8</sub> = independently H, (CH<sub>2</sub>)<sub>n</sub>C<sub>6</sub>H<sub>4</sub>, C<sub>1</sub>-C<sub>10</sub> alkyl, (CH<sub>2</sub>)<sub>n</sub>-C<sub>3</sub>-C<sub>6</sub> cycloalkyl; R<sub>7</sub>R<sub>8</sub> = nitrogen containing ring; n = 0-3; X = S, SO, SO<sub>2</sub>, CH<sub>2</sub>, CHF, CF<sub>2</sub>] and I where the carbon attached to the NH<sub>2</sub> group has the configuration of (S). For example, reacting (S)-4-hydroxyphenylglycine with Boc<sub>2</sub>O and H<sub>2</sub>/PtO<sub>2</sub> gave methyl (2S)-[[(tert-butoxycarbonyl)amino](4-hydroxyphenyl)ethanoate which was condensed with pyrrolidine to give the carbamate II. II was converted to the N-benzyloxycarbamate which was then reacted with various arylhydrazines to generate I. These compds. are claimed as inhibitors of the dipeptidyl peptidase-IV enzyme ('DP-IV inhibitors') which are useful in the treatment or prevention of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as diabetes and particularly type 2 diabetes. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. in the prevention or treatment of such diseases in which the dipeptidyl peptidase-IV enzyme is involved.

IT 676517-05-8P 676517-07-0P 676517-09-2P  
 676517-11-6P 676517-13-8P 676517-15-0P  
 676517-17-2P 811440-53-6P 811440-54-7P  
 811440-55-8P 811440-56-9P 811440-57-0P  
 811440-58-1P 811440-59-2P 811440-60-5P  
 811440-61-6P 811440-62-7P 811440-63-8P  
 811440-64-9P 811440-65-0P 811440-66-1P  
 811440-67-2P 811440-68-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

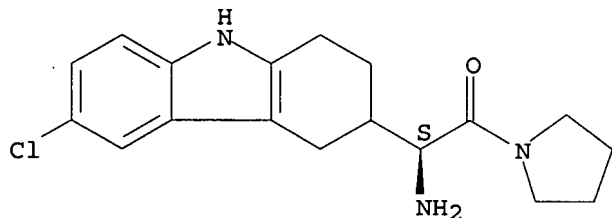
(preparation of fused indoles as dipeptidyl peptidase inhibitors for treating or preventing diabetes)

RN 676517-05-8 HCAPLUS

CN Pyrrolidine, 1-[(2S)-amino(6-chloro-2,3,4,9-tetrahydro-1H-carbazol-3-yl)acetyl]- (9CI) (CA INDEX NAME)

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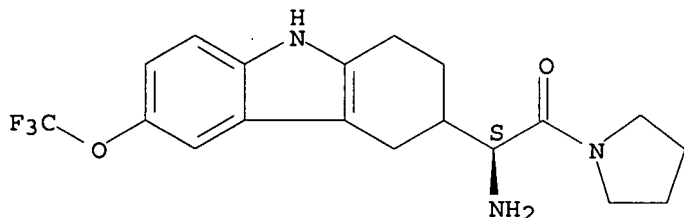
Absolute stereochemistry.



RN 676517-07-0 HCAPLUS

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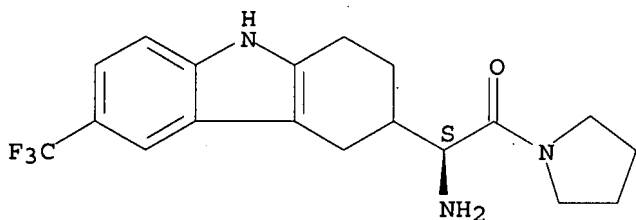
Absolute stereochemistry.



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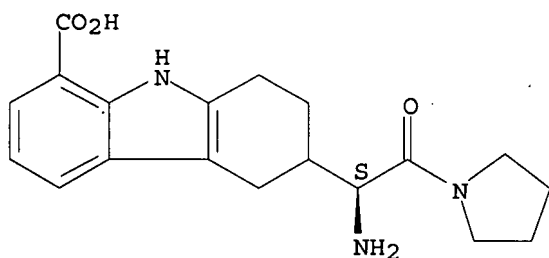
Absolute stereochemistry.



RN 676517-11-6 HCAPLUS

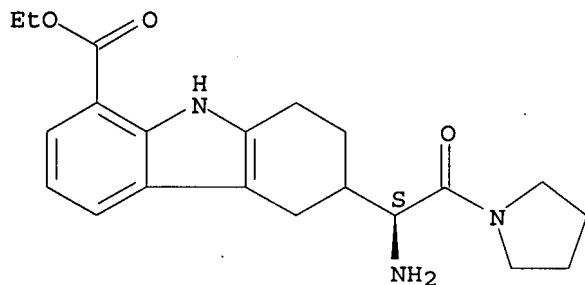
CN 1H-Carbazole-8-carboxylic acid, 3-[(1S)-1-amino-2-oxo-2-(1-pyrrolidinyl)ethyl]-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



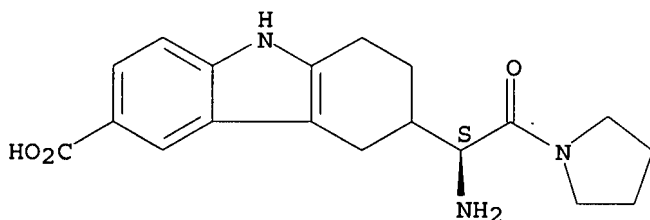
RN 676517-13-8 HCAPLUS  
 CN 1H-Carbazole-8-carboxylic acid, 3-[(1S)-1-amino-2-oxo-2-(1-pyrrolidinyl)ethyl]-2,3,4,9-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676517-15-0 HCAPLUS  
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Absolute stereochemistry.

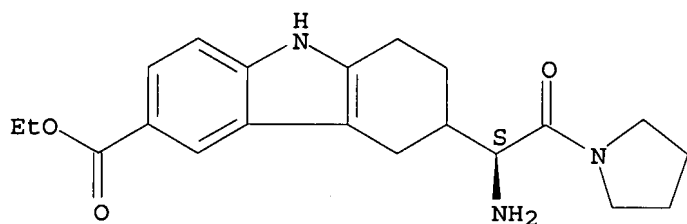


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Absolute stereochemistry.

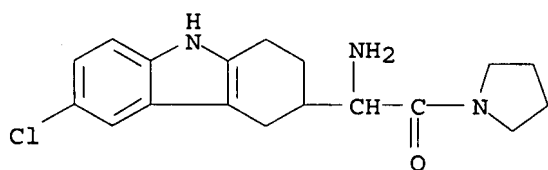


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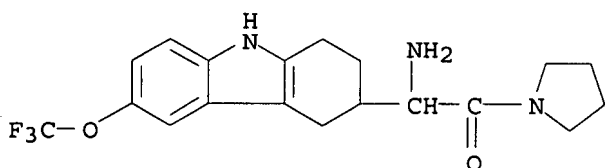
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CN Pyrrolidine, 1-[amino(6-chloro-2,3,4,9-tetrahydro-1H-carbazol-3-yl)acetyl]-  
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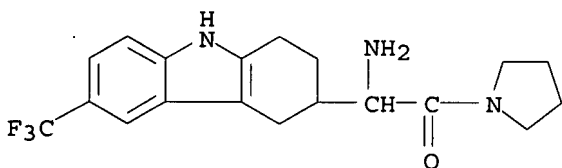
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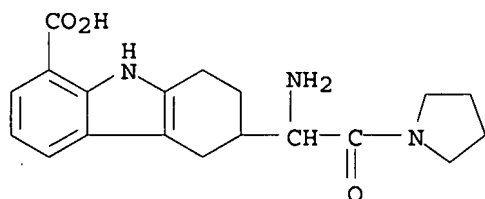
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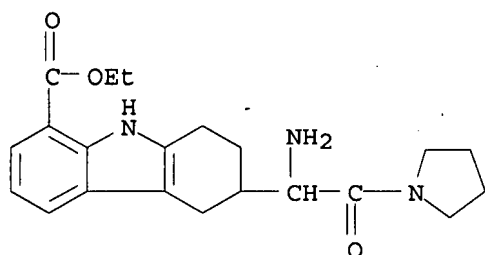
RN 811440-56-9 HCAPLUS

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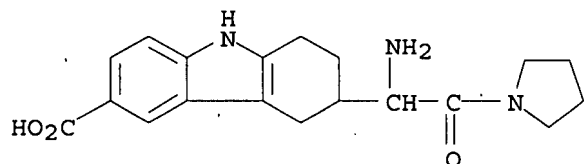
RN 811440-57-0 HCAPLUS

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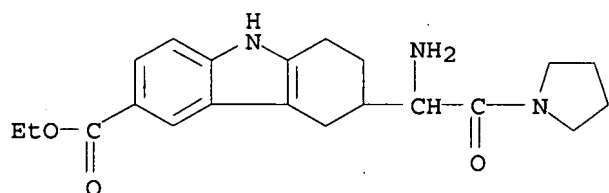
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RN 811440-59-2 HCAPLUS

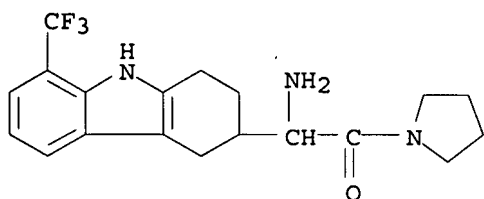
CN 1H-Carbazole-6-carboxylic acid, 3-[1-amino-2-oxo-2-(1-pyrrolidinyl)ethyl]-2,3,4,9-tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 811440-60-5 HCAPLUS

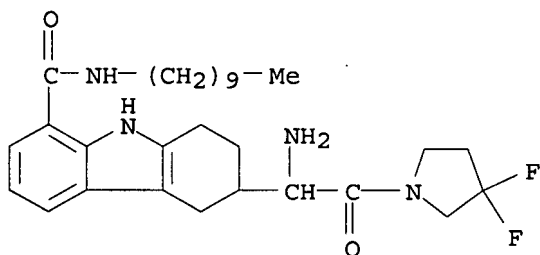
CN Pyrrolidine, 1-[amino[2,3,4,9-tetrahydro-8-(trifluoromethyl)-1H-carbazol-3-yl]acetyl]- (9CI) (CA INDEX NAME)

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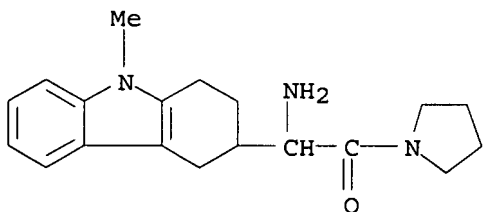
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CN 1H-Carbazole-8-carboxamide, 3-[1-amino-2-(3,3-difluoro-1-pyrrolidinyl)-2-oxoethyl]-N-decyl-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



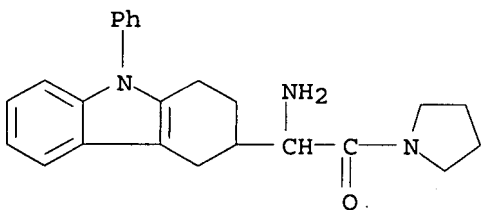
RN 811440-62-7 HCAPLUS

CN Pyrrolidine, 1-[amino(2,3,4,9-tetrahydro-9-methyl-1H-carbazol-3-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 811440-63-8 HCAPLUS

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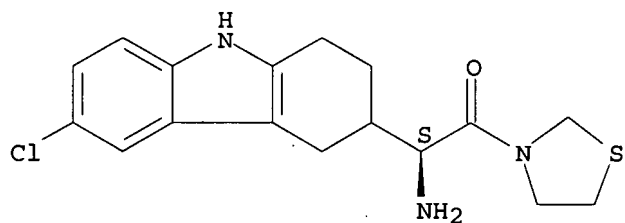


RN 811440-64-9 HCAPLUS

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10558931x.trn

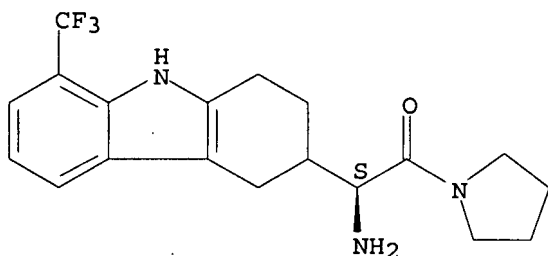
Absolute stereochemistry.



RN 811440-65-0 HCAPLUS

CN Pyrrolidine, 1-[(2S)-amino-2-[(1-chloro-1H-carbazol-3-yl)acetyl]pyrrolidin-1-yl]pyrrolidine-2-carboxamide (9CI) (CA INDEX NAME)

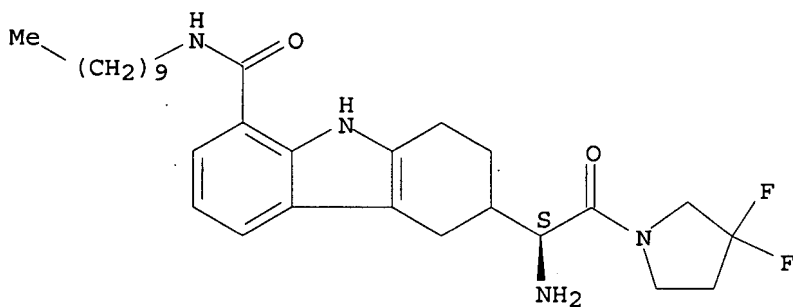
Absolute stereochemistry.



RN 811440-66-1 HCAPLUS

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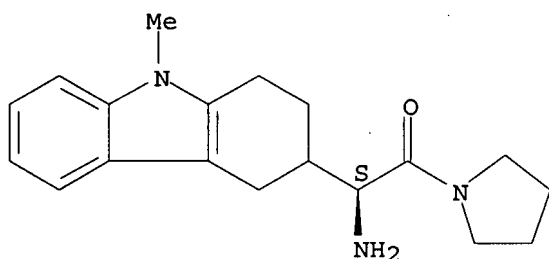
Absolute stereochemistry.



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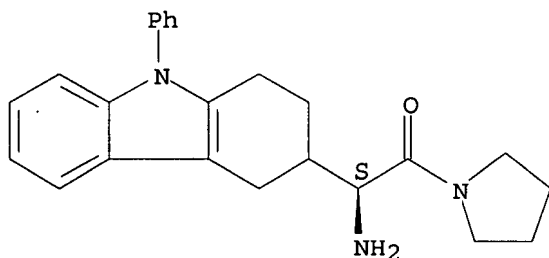
CN Pyrrolidine, 1-[(2S)-amino-2-[(1-methyl-1H-carbazol-3-yl)acetyl]pyrrolidin-1-yl]pyrrolidine-2-carboxamide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



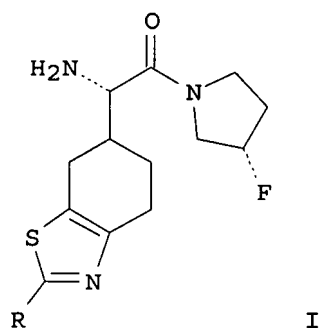
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 CN Pyrrolidine, 1-[(2S)-amino(2,3,4,9-tetrahydro-9-phenyl-1H-carbazol-3-yl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:15219 HCAPLUS  
 DOCUMENT NUMBER: 140:304042  
 TITLE: Heterocycle fused cyclohexylglycine derivatives as novel dipeptidyl peptidase-IV inhibitors  
 AUTHOR(S): Mastracchio, Anthony; Parmee, Emma R.; Letting, Barbara; Marsilio, Frank; Patel, Reshma; Thornberry, Nancy A.; Weber, Ann E.; Edmondson, Scott D.  
 CORPORATE SOURCE: Merck Research Laboratories, Merck and Co., Inc., Rahway, NJ, 07065, USA  
 SOURCE: Heterocycles (2004), 62, 203-206  
 CODEN: HTCYAM; ISSN: 0385-5414  
 PUBLISHER: Japan Institute of Heterocyclic Chemistry  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:304042  
 GI



AB A new class of potent inhibitors of dipeptidyl peptidase IV (DP-IV) for the treatment of type II diabetes are described. The syntheses of indole- and thiazole-fused cyclohexylglycines are presented. Pyrrolidine-derived amides of these novel heterocycles led to the discovery of thiazole derivs. I.TFA [R = 4-CF<sub>3</sub>C<sub>6</sub>H<sub>4</sub> or 3,4-CF<sub>3</sub>(F)C<sub>6</sub>H<sub>3</sub>CONH], both low nanomolar inhibitors of DP-IV (IC<sub>50</sub> = 6 nM).

IT 676517-06-9P 676517-08-1P 676517-10-5P  
676517-12-7P 676517-14-9P 676517-16-1P  
676517-18-3P

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);  
BIOL (Biological study); PREP (Preparation)  
(heterocycle-fused cyclohexylglycine derivs. as novel dipeptidyl  
peptidase-IV inhibitors)

RN 676517-06-9 HCAPLUS

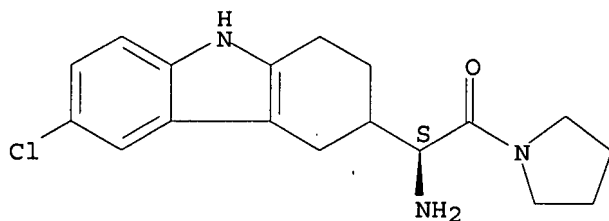
CN Pyrrolidine, 1-[(2S)-amino(6-chloro-2,3,4,9-tetrahydro-1H-carbazol-3-yl)acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676517-05-8

CMF C18 H22 Cl N3 O

Absolute stereochemistry.

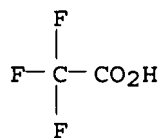


CM 2

CRN 76-05-1

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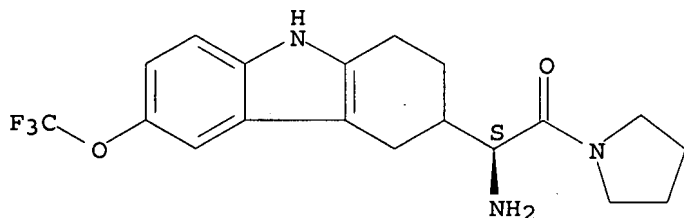


RN 676517-08-1 HCAPLUS  
CN Pyrrolidine, 1-[(2S)-amino[2,3,4,9-tetrahydro-6-(trifluoromethoxy)-1H-carbazol-3-yl]acetyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

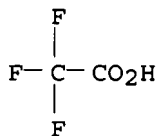
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Absolute stereochemistry.



CM 2

CRN 76-05-1  
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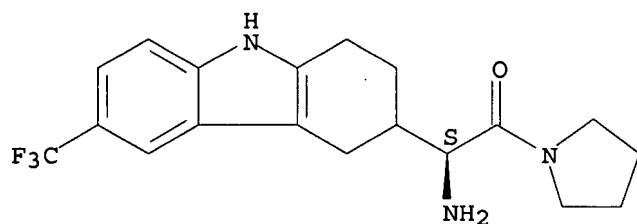
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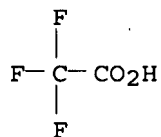
Absolute stereochemistry.

10558931x.trn



CM 2

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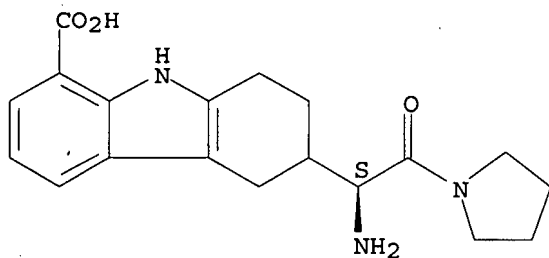


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CM 1

CRN 676517-11-6  
CMF C19 H23 N3 O3

Absolute stereochemistry.

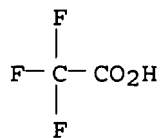


CM 2

CRN 76-05-1  
CMF C2 H F3 O2



10558931x.trn



RN 676517-14-9 HCAPLUS

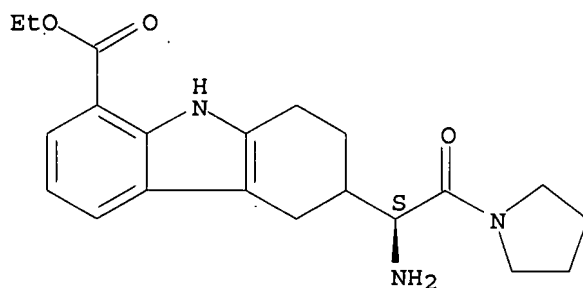
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CRN 676517-13-8

CMF C21 H27 N3 O3

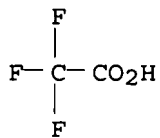
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 676517-16-1 HCAPLUS

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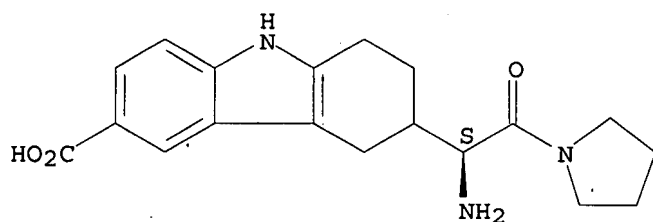
CM 1

CRN 676517-15-0

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Absolute stereochemistry.

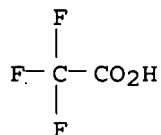
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 676517-18-3 HCAPLUS

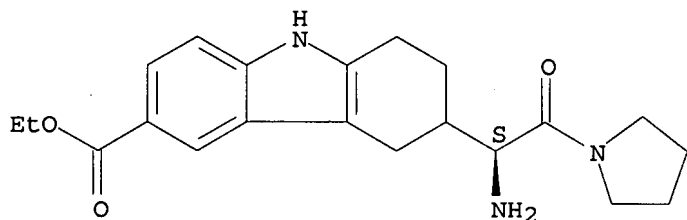
CN 1H-Carbazole-6-carboxylic acid, 3-[(1S)-1-amino-2-oxo-2-(1-pyrrolidinyl)ethyl]-2,3,4,9-tetrahydro-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 676517-17-2

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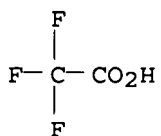
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



10558931x.trn

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FIL REGISTRY  
COST IN U.S. DOLLARS

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ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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STRUCTURE FILE UPDATES: 24 AUG 2007 HIGHEST RN 945591-52-6  
DICTIONARY FILE UPDATES: 24 AUG 2007 HIGHEST RN 945591-52-6

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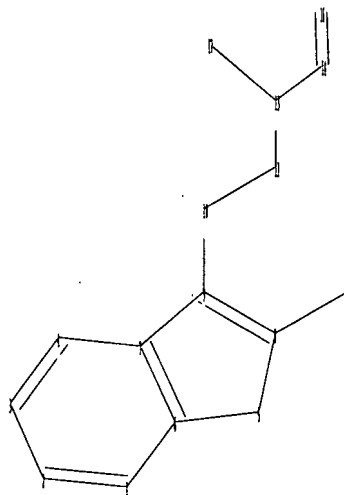
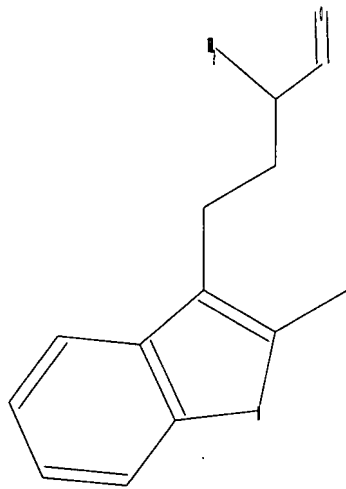
TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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10558931x.trn

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10 11 12 13 14 16 17  
ring nodes :  
1 2 3 4 5 6 7 8 9  
chain bonds :  
8-12 9-10 10-11 11-13 13-14 13-17 14-16  
ring bonds :  
1-2 1-6 2-3 3-4 4-7 5-8 5-6 6-7 7-9 8-9  
exact/norm bonds :  
5-8 5-6 13-17 14-16  
exact bonds :  
7-9 8-12 8-9 9-10 10-11 11-13 13-14  
normalized bonds :  
1-2 1-6 2-3 3-4 4-7 6-7  
isolated ring systems :  
containing 1 :

G1:S,CH2,CH,CF2,SO2

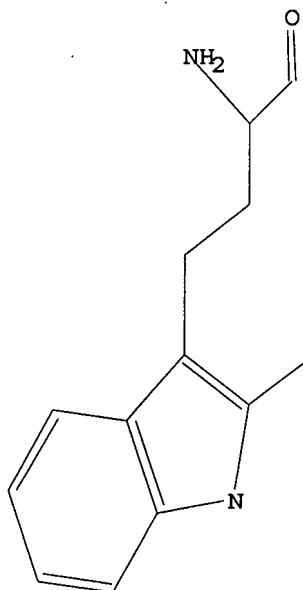
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L5 STRUCTURE UPLOADED

=> d 15

L5 HAS NO ANSWERS

L5 STR



G1 S,CH2,CH,CF2,SO2

Structure attributes must be viewed using STN Express query preparation.

10558931x.trn

=> s l5

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SAMPLE SCREEN SEARCH COMPLETED - 44 TO ITERATE

100.0% PROCESSED 44 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 483 TO 1277  
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5

=> s l5 sss full

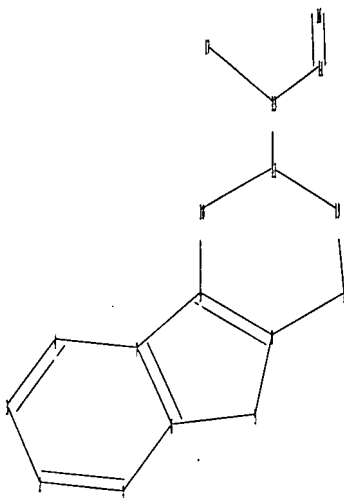
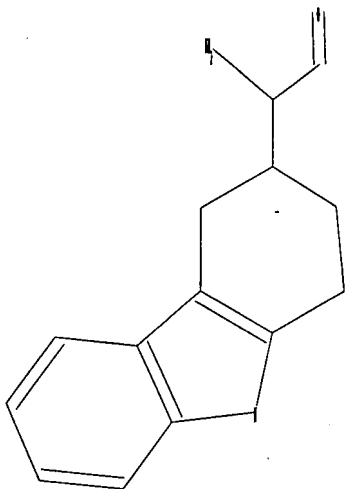
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100.0% PROCESSED 667 ITERATIONS 0 ANSWERS  
SEARCH TIME: 00.00.01

L7 0 SEA SSS FUL L5

=>

Uploading C:\Program Files\Stnexp\Queries\10558931z.str



chain nodes :

13 14 16 17

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 19

chain bonds :

11-13 13-14 13-17 14-16

ring bonds :

1-2 1-6 2-3 3-4 4-7 5-8 5-6 6-7 7-9 8-12 8-9 9-10 10-11 11-19 12-19

exact/norm bonds :

5-8 5-6 13-17 14-16

exact bonds :

7-9 8-12 8-9 9-10 10-11 11-13 11-19 12-19 13-14

normalized bonds :

1-2 1-6 2-3 3-4 4-7 6-7

10558931x.trn

isolated ring systems :  
containing 1 :

G1:S,CH2,CH,CF2,SO2

Match level :

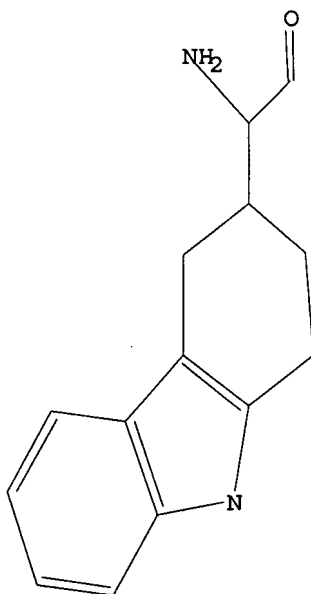
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L8 STRUCTURE UPLOADED

=> d 18

L8 HAS NO ANSWERS

L8 STR



G1 S,CH2,CH,CF2,SO2

Structure attributes must be viewed using STN Express query preparation.

=> s 18

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SAMPLE SCREEN SEARCH COMPLETED - 52 TO ITERATE

100.0% PROCESSED 52 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 608 TO 1472

PROJECTED ANSWERS: 0 TO 0

10558931x.trn

L9 0 SEA SSS SAM L8

=> s l8 sss full

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FULL SCREEN SEARCH COMPLETED - 1032 TO ITERATE

100.0% PROCESSED 1032 ITERATIONS

30 ANSWERS

SEARCH TIME: 00.00.01

L10 30 SEA SSS FUL L8

=> FIL HCAPLUS

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

344.65

535.30

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

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-1.56

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FILE LAST UPDATED: 24 Aug 2007 (20070824/ED)

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L11

2 L10

=> d l11 ibib abs tot

L11 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:1124630 HCAPLUS

DOCUMENT NUMBER: 142:56173

TITLE:

Preparation of fused indoles as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes

INVENTOR(S):

Edmondson, Scott D.; Mastracchio, Anthony; Parmee, Emma R.

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE:

PCT Int. Appl., 39 pp.

CODEN: PIXXD2

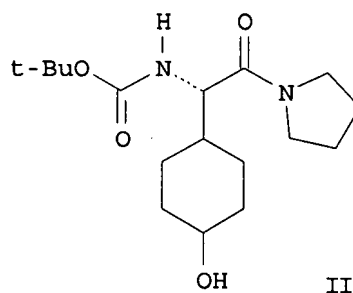
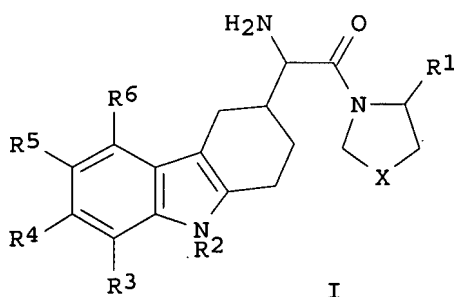
DOCUMENT TYPE:

Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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AU 2004247068	A1	20041223	AU 2004-247068	20040602
CA 2526770	A1	20041223	CA 2004-2526770	20040602
EP 1635818	A1	20060322	EP 2004-753851	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1798556	A	20060705	CN 2004-80015480	20040602
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US 2006281796	A1	20061214	US 2005-558931	20051130
PRIORITY APPLN. INFO.:			US 2003-476883P	P 20030606
			WO 2004-US17111	W 20040602

OTHER SOURCE(S): MARPAT 142:56173  
 GI



AB The authors claim the preparation of fused indoles I [R1 = H, cyano; R2 = H, C1-C6 alkyl, (CH2)n-aryl; R3, R4, R5, R6 = independently H, halo, cyano, OH, (CH2)nCO2H, (CH2)nNR7R8, etc.; R7, R8 = independently H, (CH2)nC6H4, C1-C10 alkyl, (CH2)n-C3-C6 cycloalkyl; R7R8 = nitrogen containing ring; n = 0-3; X = S, SO, SO2, CH2, CHF, CF2] and I where the carbon attached to the NH2 group has the configuration of (S). For example, reacting (S)-4-hydroxyphenylglycine with Boc2O and H2/PtO2 gavemethyl (2S)-[(tert-butoxycarbonyl)amino](4-hydroxyphenyl)ethanoate which was condensed with pyrrolidine to give the carbamate II. II was converted to the N-benzyloxycarbamate which was then reacted with various arylhydrazines to generate I. These compds. are claimed as inhibitors of the dipeptidyl peptidase-IV enzyme ('DP-IV inhibitors') which are useful in the treatment or prevention of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as diabetes and particularly type 2



diabetes. The invention is also directed to pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which the dipeptidyl peptidase-IV enzyme is involved.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:15219 HCAPLUS

DOCUMENT NUMBER: 140:304042

TITLE: Heterocycle fused cyclohexylglycine derivatives as novel dipeptidyl peptidase-IV inhibitors

AUTHOR(S): Mastracchio, Anthony; Parmee, Emma R.; Leiting, Barbara; Marsilio, Frank; Patel, Reshma; Thornberry, Nancy A.; Weber, Ann E.; Edmondson, Scott D.

CORPORATE SOURCE: Merck Research Laboratories, Merck and Co., Inc., Rahway, NJ, 07065, USA

SOURCE: Heterocycles (2004), 62, 203-206

CODEN: HTCYAM, ISSN: 0385-5414

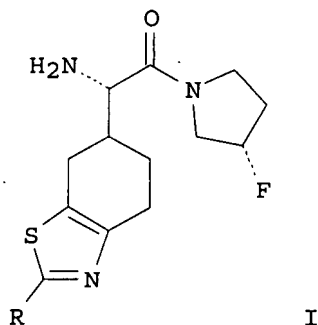
PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:304042

GI



AB A new class of potent inhibitors of dipeptidyl peptidase IV (DP-IV) for the treatment of type II diabetes are described. The syntheses of indole- and thiazole-fused cyclohexylglycines are presented. Pyrrolidine-derived amides of these novel heterocycles led to the discovery of thiazole derivs. I.TFA [R = 4-CF<sub>3</sub>C<sub>6</sub>H<sub>4</sub> or 3,4-CF<sub>3</sub>(F)C<sub>6</sub>H<sub>3</sub>CONH], both low nanomolar inhibitors of DP-IV (IC<sub>50</sub> = 6 nM).

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION

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